FORM PTO-1449 (REV. 7-85)

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U.S. DEPARTMENT OF COMMERCE PATENT AND TRADEMARK OFFICE INFORMATION DISCLOSURE CITATION



Sheet 1 of 1 ATTY. DOCKET NO. LA0108 NP APPLICATION NO. 10/764,118 APPLICANT WASHBURN ET AL. FILING DATE **JANUARY 23, 2004**

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THE TRADE **U.S. PATENT DOCUMENTS** EXAMINER DOCUMENT NUMBER CLASS SUBCLASS FILING DATE DATE NAME INITIAL AA 6.090.854 7/18/00 GS James R. Epperson AB AC AD . AE AF AG AH AI AJ AK AL .

FOREIGN PATENT DOCUMENTS

		DOCUMENT NUMBER	DATE	OFFICE	CLASS	SUBCLASS	TRAN YES	SLATION NO
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FORM PTO-1449 (REV. 7-85)

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U.S. PATENT APPLICATION PUBLICATIONS

EXAMINER INITIAL		U.S. APPLICATION DOCUMENT	DATE OF PUBLICATION	NAME	CLASS	SUBCLASS	FILING DATE
GS	AA	2002/0111315	8/15/02	Washburn et al.			

U.S. PATENT DOCUMENTS

. EXAMINER		DOCUMENT NUMBER	DATE	NAME	CLASS	SUBCLASS	
GS	AB	3,239,345	3/8/66	Hodge et al.			
	AC	3,983,140	9/28/76	Endo et al.			
	AD	4,027,009	5/31/77	Grier et al.			
· ·	AE	4,036,979	7/19/77	Asato			
	AF	4,231,938	11/4/80	Monaghan et al.			
	AG	4,346,227	8/24/82	Terahara et al.			
	AH	4,379,785	4/12/83	Weyer et al.	·····		
	AI	4,411,890	10/25/83	Mornany		†	
₩—	AJ	4,448,784	5/15/84	Glamkowski et al.			

FOREIGN PATENT DOCUMENTS

GS		DOCUMENT NUMBER	DATE	OFFICE	CLASS	SUBCLASS	TRAN YES	SLATION NO
	AK	EP 0 142 146	8/31/88	EP				
_	AL	EP 0 221 025	5/6/87	EP				
	AM	EP 0 598 359	6/14/00	EP				
_ الد	AN	EP 0 684 254	3/24/99	EP				
V	AO	EP 0 773 226	1/13/99	EP				

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G	s /	AP	Ashworth, D.M. et al., "2-Cyanopyrrolidides as Potent, Stable Inhibitors of Dipeptidyl Peptidase IV", Bioorganic & Medicinial Chemistry Letters, Vol. 6, No. 10, pp. 1163-1166 (1996)
	,	AQ	Ashworth, D.M. et al., "4-Cyanothiazolidides as Very Potent, Stable Inhibitors of Dipeptidyl Peptidase IV", Bioorganic & Medicinial Chemistry Letters, Vol. 6, No. 22, pp. 2745-2748 (1996)
$\overline{\mathbf{V}}$,	AR	Biller, S.A. et al., "Isoprenoid (Phosphinylmethyl)phosphonates as Inhibitors of Squalene Synthetase", Journal of Medicinal Chemistry, Vol. 31, No. 10, pp. 1869-1871 (1988)
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			Ų.S.	PATENT DOCUMENTS			
EXAMINER INITIAL		DOCUMENT NUMBER	DATE	NAME	CLASS	SUBCLASS	
GS	2AA	4,450,171	5/22/84	Hoffman et al.			
	2AB	4,499,289	2/12/85	Baran et al.			
	2AC	4,572,912	2/25/86	Yoshioka et al.			
	2AD	4,613,610	9/23/86	Wareing			
	2AE	4,639,436	1/27/87	Junge et al.			
	2AF	4,647,576	3/3/87	Hoefle et al.			
	2AG	4,681,893	7/21/87	Roth			
	2AH	4,686,237	8/11/87	Anderson			
	2AI	4,759,923	7/26/88	Buntin et al.			-
	2AJ	4,871,721	10/3/89	Biller			
1	2AK	4,904,769	2/27/90	Rauenbusch		<u></u>	
V	2AL	4,924,024	5/8/90	Biller		<u> </u>	

FOREIGN PATENT DOCUMENTS

	<u> </u>	DOCUMENT NUMBER	DATE	OFFICE	CLASS	SUBCLASS	TRAN YES	SLATION NO
GS	2AM	EP 0 850 948	4/24/02	EP				
	2AN	EP 1 297 833	4/2/03	EP				
	2AO	2 596 393	10/2/87	FR		•		
\bot	2AP	JP 8-27006	1/30/96	JP (with English abstract)				
V	2AQ	JP 9-124684	5/13/97	JP (with English abstract)		<u>``</u> `		

OTHER DOCUMENTS (Including Author, Title, Date, Pertinent pages, Etc.)

GS	2AR	Biller, S.A. et al., "Squalene Synthase Inhibitors", Current Pharmaceutical Design, Vol. 2, No. 1, pp. 1- 40 (1996)
	2AS	Bundgaard, H., Chapter 5: "Design and Application of Prodrugs", A Textbook of Drug Design and Development, Harwood Academic Publishers, publ., Krogsgaard-Larsen, P. et al., eds., pp. 113-191 (1991)
\mathbf{V}	2AT	Bundgaard, H., ed., Design of Prodrugs, Elsevier Science Publishers B.V., publ. (1985) (table of contents)
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			U.S. I	PATENT DOCUMENTS			
EXAMINER DITTAL		DOCUMENT NUMBER	DATE	NAME	CLASS	SUBCLASS	FILING
GS	3AA	5,006,530	4/9/91	Angerbauer et al.			
	3AB	5,011,930	4/30/91	Fujikawa et al.			
	3AC	5,177,080	1/5/93	Angerbauer et al.			
	3AD	5,260,440	11/9/93	Hirai et al.			
	3AE	5,273,995	12/28/93	Roth		<u> </u>	
	3AF	5,346,701	9/13/94	Heiber et al.			
	3AG	5,354,772	10/11/94	Kathawala			
	3AH	5,385,929	1/31/95	Bjorge et al.			
	3AI	5,401,772	3/28/95	Yokoyama et al.			
	3AJ	5,488,064	1/30/96	Sher			
	3AK	5,491,134	-2/13/96	Sher et al.			<u>_</u>
V	3AL	5,506,219	4/9/96	Robi		<u> </u>	

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i		DOCUMENT NUMBER	DATE	OFFICE	CLASS	SUBCLASS	TRAN YES	SLATION NO
GS	3AM	JP 9-124685	5/13/97	JP (with English abstract)				
	3AN [']	JP 9-188625	7/22/97	JP (with English abstract)				
	3AO	JP 10-245391	9/14/98	JP				
	3AP	GB 2 205 837	12/21/88	UK				
V	3AQ	WO 86/03488	6/19/86	РСТ				

OTHER DOCUMENTS (Including Author, Title, Date, Pertinent pages, Etc.)

GS	3AR	Capson, T.L., "Synthesis and Evaluation of Ammonium Analogs of Carbocationic Intermediates in Squalene Biosynthesis", dissertation, Department of Medicinal Chemistry, University of Utah, pp. iv-v, Table of Contents, 16-17, 40-43, 48-51, Summary (June 1987)
	3AS	Chakrabartty, S.K., Chapter V: "Alkaline Hypohalite Oxidations", Oxidation in Organic Chemistry, Part C, Academic Press, Inc., publ., Trahanovsky, W.S., ed., pp. 343-370 (1978)
$\overline{\mathbf{V}}$	' 3AT	Chan, D.M.T. et al., "New N- and O-Arylations with Phenylboronic Acids and Cupric Acetate", Tetrahedron Letters, Vol. 39, pp. 2933-2936 (1998)
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U.S. PATENT DOCUMENTS							
EXAMINER INITIAL		DOCUMENT NUMBER	DATE	NAME	CLASS	SUBCLASS	FILING
GS	4AA	5,541,204	7/30/96	Sher et al.			DATE
	4AB	5,594,016	1/14/97	Ueno et al.	-		
	4AC	5,595,872	1/21/97	Wetterau, II et al.			
	4AD	5,612,359	3/18/97	Murugesan			
	4AE	5,614,492	3/25/97	Habener			
	4AF	5,631,224	5/20/97	Efendic et al.			
	4AG	5,686,104	11/11/97	Mills et al.			
	4AH	5,691,322	11/25/97	Robl			
	4AI	5,712,279	1/27/98	Biller et al.			
	4AJ	5,712,396	1/27/98	Magnin et al.		+	
	4AK	5,739,135	4/14/98	Biller et al.			
/	4AL	5,753,675	5/19/98	Wattanasin			

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		DOCUMENT NUMBER	DATE	OFFICE	CLASS	SUBCLASS	TRAN YES	SLATION NO
GS	4AM	WO 86/07054	12/4/86	PCT				
	4AN	WO 89/07110	8/10/89	PCT		·		
	4A0	WO 89/07111	8/10/89	PCT				
	4AP	WO 93/04081	3/4/93	PCT				
\mathbf{V}_{-}	4AQ	WO 96/38144	12/5/96	РСТ		· · · · · · · · · · · · · · · · · · ·		

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GS	4AR	Chiellini, G. et al., "A high-affinity subtype-selective agonist ligand for the thyroid hormone receptor", Chemistry & Biology, Vol. 5, No. 6, pp. 299-306 (1998)
	4AŠ	Corey, E.J. et al., "Application of Unreactive Analogs of Terpenoid Pyrophosphates to Studies of Multistep Biosynthesis. Demonstration That 'Presqualene Pyrophosphate' Is an Essential Intermediate on the Path to Squalene", J. Am. Chem. Soc., Vol. 98, No. 5, pp. 1291-1293 (1976)
	4AT	Cornicelli, J.A. et al., "15-Lipoxygenase and Its Inhibition: A Novel Therapeutic Target for Vascular Disease", Current Pharmaceutical Design, Vol. 5, No. 1, pp. 11-20 (1999)
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_	U.S. PATENT DOCUMENTS							
EXAMINER INITIAL		DOCUMENT NUMBER	DATE	NAME	CLASS	SUBCLASS	FILING	
GS	5AA	5,760,246	6/2/98	Biller et al.				
	5AB	5,770,615	6/23/98	Cheng et al.				
	5AC	5,776,983	7/7/98	Washburn et al.			· · · · · · · · · · · · · · · · · · ·	
•	5AD	5,827,875	10/27/98	Dickson, Jr. et al.				
	5AE	5,885,983	3/23/99	Biller et al.				
	5AF	5,962,440	10/5/99	Sulsky				
	5AG	6,043,265	3/28/00	Murugesan et al.	· ·			
V	5AH	6,184,231	2/6/01	Hewawasam et al.				
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	GS	5AM	WO 97/12613	4/10/97	РСТ				
		5AN	WO 97/12615	4/10/97	РСТ				
		5AO	WO 97/21993	6/19/97	РСТ				
_		5AP	WO 99/00353	1/7/99	РСТ				
$-\Psi$		5AQ	WO 99/38501	8/5/99	РСТ		· ·		

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I GS	5AR	Couladouros, E.A. et al., "A general synthetic route towards bastadins. Part 1: Synthesis of the eastern part of bastadins 4-16", Tetrahedron Letters, Vol. 40, pp. 7023-7026 (1999)
1	5AS	Dibbo, A. et al., "The Synthesis of Thyroxine and Related Compounds. Part XVII. The Preparation of Some Additional Compounds related to Thyroxine", J. Chem. Soc., pp. 2890-2902 (1961)
V	5AT	Driver, M.S. et al., "A Second-Generation Catalyst for Aryl Halide Amination: Mixed Secondary Amines from Aryl Halides and Primary Amines Catalyzed by (DPPF)PdCl ₂ ", J. Am. Chem. Soc., Vol. 118, No. 30, pp. 7217-7218 (1996)
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	GS	6AA	WO 99/46272	9/16/99	PCT				
		6AB	WO 99/61431	12/2/99	PCT				
		6AC	WO 99/67278	12/29/99	PCT		•		
		6AD	WO 99/67279	12/29/99	PCT				
		6AE	WO 00/01389	1/13/00	PCT				
		6AF	WO 01/60784	8/23/01	PCT				
		6AG	WO 01/70687	9/27/01	PCT		•••		
		6AH	WO 01/72692	10/4/01	PCT				
		6AI	WO 01/85670	11/15/01	PCT				
		6AJ	WO 01/90053	11/29/01	PCT				
	_	6AK	WO 01/94293	12/13/01	РСТ				
		6AL	WO 02/051805	7/4/02	РСТ				
		6AM	WO 02/062780	8/15/02	PCT				
		6AN	WO 02/090344	11/14/02	PCT				
		6AO	WO 02/094319	11/28/02	PCT		•		
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GS	744	Edwards, J.P. et al., "Nonsteroidal Androgen Receptor Agonists Based on 4-(trifluoromethyl)-2H- pyrano[3,2-g]quinolin-2-one", Bioorganic & Medicinal Chemistry Letters, Vol. 9, pp. 1003-1008 (1999)
	7AB	Evans, D.A. et al., "Synthesis of Diaryl Ethers through the Copper-Promoted Arylation of Phenols with Arylboronic Acids. An Expedient Synthesis of Thyroxine", Tetrahedron Letters, Vol. 39, pp. 2937-2940 (1998)
	7AC	Frost, C.G. et al., "Recent developments in aromatic heteroatom coupling reactions", J. Chem. Soc., Perkin Trans. 1, pp. 2615-2623 (1998)
	7AD	Ghiselli, G., "The Pharmacological Profile of FCE 27677: A Novel ACAT Inhibitor with Potent Hypolipidemic Activity Mediated by Selective Suppression of the Hepatic Secretion of ApoB-100-Containing Lipoprotein", Cardiovascular Drug Reviews, Vol. 16, No. 1, pp. 16-30 (1998)
	7AE	Greene, T.W. et al., Protective Groups in Organic Synthesis, Third Edition, John Wiley & Sons, Inc., publ. (1999) (table of contents)
	7AF	Guo, ZW. et al., "Enzymatic Oxidative Phenolic Coupling", J. Org. Chem., Vol. 62, No. 20, pp. 6700-6701 (1997)
	7AG	Hamann, L.G. et al., "Discovery of a Potent, Orally Active, Nonsteroidal Androgen Receptor Agonist: 4-Ethyl-1,2,3,4-tetrahydro-6-(trifluoromethyl)-8-pyridono[5,6-g]-quinoline (LG121071)", J. Med. Chem., Vol. 42, No. 2, pp. 210-212 (1999)
	7AH	Hara, S., "Ileal Na ⁺ /bile acid cotransporter inhibitors", Drugs of the Future, Vol. 24, No. 4, pp. 425- 430 (1999)
	7AI	Harrington, C.R., "Synthesis of a Sulphur-containing Analogue of Thyroxine", Biochem. J., Vol. 43 pp. 434-437 (1948)
	7AJ	Hickey, D.M.B. et al., "Synthesis of Thyroid Hormone Analogues. Part 2. Oxidative Coupling Approach to SK&F L-94901", J. Chem. Soc. Perkin Trans. I, pp. 3097-3102 (1988)
	7AK	Hickey, D.M.B. et al., "Synthesis of Thyroid Hormone Analogues. Part 3. Iodonium Salt Approaches to SK&F L-94901", J. Chem. Soc. Perkin Trans. I, pp. 3103-3111 (1988)
	7AL	Hongu, M. et al., "Na ⁺ -Glucose Cotransporter Inhibitors as Antidiabetic Agents. II. Synthesis and Structure-Activity Relationships of 4'-Dehydroxyphlorizin Derivatives", Chem. Pharm. Bull., Vol. 46 No. 1, pp. 22-33 (1998)
	7AM	Hongu, M. et al., "Na ⁺ -Glucose Cotransporter Inhibitors as Antidiabetic Agents. III. Synthesis and Pharmacological Properties of 4'-Dehydroxyphlorizin Derivatives Modified at the OH Groups of the Glucose Moiety", Chem. Pharm. Bull., Vol. 46, No. 10, pp. 1545-1555 (1998)
	7AN	Horner, L. et al., "Die Synthese brücken-analoger Thyroninverbindungen", Chemische Berichte, Vol. 85, pp. 520-530 (1952)

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	8AB	Jain, G.K. et al., "Punarnavoside: A New Antifibrinolytic Agent from <i>Boerhaavia diffusa</i> Linn", Indian Journal of Chemistry, Vol. 28B, pp. 163-166 (1989)
-	BAC	Johannsson, G. et al., "Growth Hormone Treatment of Abdominally Obese Men Reduces Abdominal Fat Mass, Improves Glucose and Lipoprotein Metabolism, and Reduces Diastolic Blood Pressure", Journal of Clinical Endocrinology and Metabolism, Vol. 82, No. 3, pp. 727-734 (1997)
	8AD	Jones, R.M. et al., "A Mild Anionic Method for Generating o-Quinone Methides: Facile Preparations of Ortho-Functionalized Phenols", J. Org. Chem. Vol. 66, No. 10, pp. 3435-3441 (2001)
	8AE	Kalinin, A.V. et al., "The Directed Ortho Metalation-Ullmann Connection. A New Cu(I)-Catalyzed Variant for the Synthesis of Substituted Diaryl Ethers", J. Org. Chem. Vol. 64, No. 9, pp. 2986-2987 (1999)
•	8AF	Kämmerer, H. et al., "Versuche zur Umsetzung von Methylendiphenolen mit Glucosederivaten und zur Kondensation von O-Phenylglucosidderivaten", Makromol. Chem., Vol. 182, pp. 1351-1361 (1981)
	8AG	Krause, B.R. et al., Chapter 6: "ACAT Inhibitors: Physiologic Mechanisms for Hypolipidemic and Anti-Atherosclerotic Activities in Experimental Animals", Inflammation: Mediators Pathways, CRC Press Inc., publ., Ruffolo, Jr., R.R. et al., eds., pp. 173-198 (1995)
	8AH	Leeson, P.D. et al., "Synthesis of Thyroid Hormone Analogues. Part 1. Preparation of 3'-Heteroarylmethyl- 3,5-di-iodo-L-thyronines via Phenol-Dinitrophenol Condensation and Relationships between Structure and Selective Thyromimetic Activity", J. Chem. Soc. Perkin Trans. I, pp. 3085-3096 (1988)
	8AI	Lévai, A. et al., "Circular Dichroism, LXVI: Chiroptical Properties of Some Mono- and Polysubstituted Phenyl Glycosides", Acta Chim. (Budapest), Vol. 84, No. 1, pp. 99-107 (1975)
	8AJ	Marcoux, JF. et al., "A General Copper-Catalyzed Synthesis of Diaryl Ethers", Vol. 119, No. 43, pp. 10539-10540 (1997)
	8AK	McClard, R.W. et al., "Novel Phosphonylphosphinyl (P-C-P-C-) Analogues of Biochemically Interesting Diphosphates. Syntheses and Properties of P-C-P-C- Analogues of Isopentenyl Diphosphate and Dimethylallyl Diphosphate", J. Am. Chem. Soc., Vol. 109, pp. 5544-5545 (1987)
	BAL	Murakami, K. et al., "A Novel Insulin Sensitizer Acts as a Coligand for Peroxisome Proliferator- Activated Receptor- α (PPAR- α) and PPAR- γ : Effect of PPAR- α Activation on Abnormal Lipid Metabolism in Liver of Zucker Fatty Rats", Diabetes, Vol. 47, pp. 1841-1847 (1998)
	8AM	Nicolosi, R.J. et al., "The ACAT Inhibitor, CI-1011 is effective in the prevention and regression of aortic fatty streak area in hamsters", Atherosclerosis, Vol. 137, pp. 77-85 (1998)
\mathbf{V}	8AN	Oku, A. et al., "T-1095, an Inhibitor of Renal Na ⁺ -Glucose Cotransporters, May Provide a Novel Approach to Treating Diabetes", Diabetes, Vol. 48, pp. 1794-1800 (1999)
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	9AB	Rosenblum, S.B. et al., "Discovery of 1-(4-Fluorophenyl)-(3R)-[3-(4-fluorophenyl)-(3S)- hydroxypropyl]-(4S)-(4-hydroxyphenyl)-2-azetidinone (SCH 58235): A Designed, Potent, Orally Active Inhibitor of Cholesterol Absorption", J. Med. Chem., Vol. 41, No. 6, pp. 973-980 (1998)
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Sheet 10 of 10

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